

**TRANSLATION**

**PATENT COOPERATION TREATY**

**PCT**

**INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY**

(Chapter II of the Patent Cooperation Treaty)

(PCT Article 36 and Rule 70)

Applicant's or agent's file reference <b>PCT-0503</b>	<b>FOR FURTHER ACTION</b>	See Form PCT/IPEA/416
International application No. <b>PCT/JP2005/002948</b>	International filing date ( <i>day/month/year</i> ) <b>17.02.2005</b>	Priority date ( <i>day/month/year</i> ) <b>18.02.2004</b>
International Patent Classification (IPC) or national classification and IPC <b>C07D487/04 , A61K31/5025, A61K31/53, A61P1/16, A61P3/00, A61P3/04, A61P3/06, A61P3/10, A61P5/00, A61P9/00, A61P9/04,</b>		
Applicant <b>BANYU PHARMACEUTICAL CO., LTD.</b>		

1. This report is the international preliminary examination report, established by this International Preliminary Examining Authority under Article 35 and transmitted to the applicant according to Article 36.
2. This REPORT consists of a total of <u>6</u> sheets, including this cover sheet.
3. This report is also accompanied by ANNEXES, comprising: a. <input type="checkbox"/> (sent to the applicant and to the International Bureau) a total of _____ sheets, as follows: <input type="checkbox"/> sheets of the description, claims and/or drawings which have been amended and are the basis for this report and/or sheets containing rectifications authorized by this Authority (see Rule 70.16 and Section 607 of the Administrative Instructions). <input type="checkbox"/> sheets which supersede earlier sheets, but which this Authority considers contain an amendment that goes beyond the disclosure in the international application as filed, as indicated in item 4 of Box No. I and the Supplemental Box. b. <input type="checkbox"/> (sent to the International Bureau only) a total of (indicate type and number of electronic carrier(s)) _____, containing a sequence listing and/or tables related thereto, in computer readable form only, as indicated in the Supplemental Box Relating to Sequence Listing (see Section 802 of the Administrative Instructions).
4. This report contains indications relating to the following items: <input checked="" type="checkbox"/> Box No. I Basis of the report <input type="checkbox"/> Box No. II Priority <input type="checkbox"/> Box No. III Non-establishment of opinion with regard to novelty, inventive step and industrial applicability <input type="checkbox"/> Box No. IV Lack of unity of invention <input checked="" type="checkbox"/> Box No. V Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement <input type="checkbox"/> Box No. VI Certain documents cited <input type="checkbox"/> Box No. VII Certain defects in the international application <input checked="" type="checkbox"/> Box No. VIII Certain observations on the international application

Date of submission of the demand	Date of completion of this report
Name and mailing address of the IPEA/JP	Authorized officer
Facsimile No.	Telephone No.

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/002948

## Box No. I Basis of the report

1. With regard to the **language**, this report is based on the international application in the language in which it was filed, unless otherwise indicated under this item.
- ☐ This report is based on translations from the original language into the following language \_\_\_\_\_, which is the language of a translation furnished for the purposes of:
- ☐ international search (Rule 12.3 and 23.1(b))
- ☐ publication of the international application (Rule 12.4)
- ☐ international preliminary examination (Rule 55.2 and/or 55.3)
2. With regard to the **elements** of the international application, this report is based on (*replacement sheets which have been furnished to the receiving Office in response to an invitation under Article 14 are referred to in this report as "originally filed" and are not annexed to this report*):
- ☒ the international application as originally filed/furnished
- ☐ the description:
- pages \_\_\_\_\_ as originally filed/furnished
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- pages\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the claims:
- nos. \_\_\_\_\_ as originally filed/furnished
- nos.\* \_\_\_\_\_ as amended (together with any statement) under Article 19
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- nos.\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ the drawings:
- sheets \_\_\_\_\_ as originally filed/furnished
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- sheets\* \_\_\_\_\_ received by this Authority on \_\_\_\_\_
- ☐ a sequence listing and/or any related table(s) – see Supplemental Box Relating to Sequence Listing.
3. ☐ The amendments have resulted in the cancellation of:
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_
4. ☐ This report has been established as if (some of) the amendments annexed to this report and listed below had not been made, since they have been considered to go beyond the disclosure as filed, as indicated in the Supplemental Box (Rule 70.2(c)).
- ☐ the description, pages \_\_\_\_\_
- ☐ the claims, nos. \_\_\_\_\_
- ☐ the drawings, sheets/figs \_\_\_\_\_
- ☐ the sequence listing (*specify*): \_\_\_\_\_
- ☐ any table(s) related to sequence listing (*specify*): \_\_\_\_\_

\* If item 4 applies, some or all of those sheets may be marked "superseded."

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/002948

Box No. V	Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability; citations and explanations supporting such statement		
1. Statement			
Novelty (N)	Claims	<u>1-22</u>	YES
	Claims		NO
Inventive step (IS)	Claims	<u>1-22</u>	YES
	Claims		NO
Industrial applicability (IA)	Claims	<u>1-22</u>	YES
	Claims		NO
2. Citations and explanations (Rule 70.7)			
Document 1: Indian Journal of Chemistry, Section B: Organic Chemistry Including Medicinal Chemistry, Vol. 28B, No. 11, pages 987 to 989, 1989			
Document 2: Journal of Heterocyclic Chemistry, Vol. 25, No. 3, pages 827 to 830, 1988			
Document 3: EP 156734 A2			
Document 4: JP 4-211085 A			
Document 5: WO 01/034152 A1			
Claims 1 to 14			
With regards to the inventions involving the compounds set forth in claims 1 to 14 of the present application and/or pharmaceutically acceptable salts thereof, although documents 1 to 5 cited in the international search report disclose compounds that have similar chemical structures (e.g., document 1 discloses compounds with chemical structures similar to those of the compounds represented by formula (I-3) set forth in claim 12 of the present application, document 2 discloses compounds with chemical structures similar to those of the compounds represented by formula (I-2) set forth in claim 10 of the present application and document 3			

## INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/002948

Box No. V

Reasoned statement under Article 35(2) with regard to novelty, inventive step or industrial applicability;  
citations and explanations supporting such statement

discloses compounds with chemical structures similar to those of the compounds represented by formula (I-3) set forth in claim 11 of the present application), the documents in question do not disclose the moiety represented by the variable W within the group represented by the formula E-O-W set forth in claim 1 of the present application, and said documents do not suggest that it might be possible to employ the moiety that is represented by the variable W, either. Such being the case, the inventions set forth in claims 1 to 14 are novel and involve an inventive step in relation to the documents that are cited in the international search report.

Claims 15 to 22

The inventions set forth in claims 15 to 22 pertain to prescribed antagonists, to prescribed inverse agonists or to prophylactic and therapeutic agents against prescribed diseases, all of which comprise one of the compounds that are set forth in claims 1 to 14 as an active component; meanwhile, the inventions set forth in claims 1 to 14 are novel and involve an inventive step, as is indicated above. Such being the case, the inventions set forth in claims 15 to 22 are also novel and involve an inventive step in relation to the documents that are cited in the international search report in as much as said inventions involve the inventions that are set forth in claims 1 to 14.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/002948

**Box No. VIII**      **Certain observations on the international application**

The following observations on the clarity of the claims, description, and drawings or on the question whether the claims are fully supported by the description, are made:

The disclosure of claim 1 includes the variable  $A_4$ , which is not defined therein; therefore, the disclosure in question is unclear.

INTERNATIONAL PRELIMINARY REPORT ON PATENTABILITY

International application No.

PCT/JP2005/002948

Supplemental Box

In case the space in any of the preceding boxes is not sufficient.

Continuation of: Front page

International Patent Classification (IPC) or national  
classification and IPC:

A61P9/10, A61P9/12, A61P13/12, A61P19/06, A61P25/00,  
A61P25/02, A61P25/08, A61P25/14, A61P25/16, A61P25/20,  
A61P25/22, A61P25/24, A61P25/28, A61P25/32, A61P27/00,  
A61P39/02, A61P43/00, C07D487/14